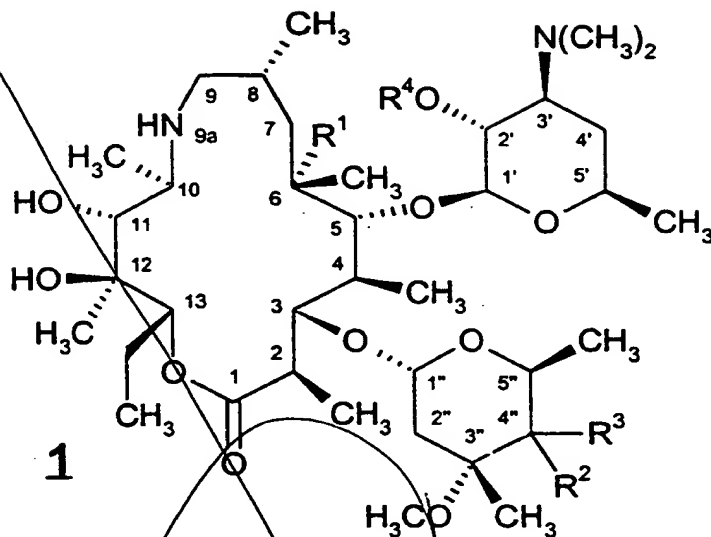


5

CLAIMS

1. A compound of the formula



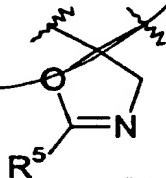
or a pharmaceutically acceptable salt thereof, wherein:

R^1 is H, hydroxy or methoxy;

R^2 is hydroxy;

R^3 is C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, cyano, $-CH_2S(O)_nR^8$ wherein n is an integer ranging from 0 to 2, $-CH_2OR^8$, $-CH_2N(OR^8)R^8$, $-CH_2NR^8R^{15}$, $-(CH_2)_m(C_6-C_{10} \text{ aryl})$, or $-(CH_2)_m(5-10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^3 groups are optionally substituted by 1 to 3 R^{16} groups;

or R^2 and R^3 are taken together to form an oxazolyl ring as shown below



R^4 is H, $-C(O)R^9$, $-C(O)OR^9$, $-C(O)NR^9R^{10}$ or a hydroxy protecting group;

R^5 is $-SR^8$, $-(CH_2)_nC(O)R^8$ wherein n is 0 or 1, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, $-(CH_2)_m(C_6-C_{10} \text{ aryl})$, or $-(CH_2)_m(5-10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^5 groups are optionally substituted by 1 to 3 R^{16} groups;

each R^6 and R^7 is independently H, hydroxy, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $-(CH_2)_m(C_6-C_{10} \text{ aryl})$, or $-(CH_2)_m(5-10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4;

5 each R^8 is independently H, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, $-(CH_2)_q CR^{11}R^{12}(CH_2)_r NR^{13}R^{14}$ wherein q and r are each independently an integer ranging from 0 to 3 except q and r are not both 0, $-(CH_2)_m(C_6$ - C_{10} aryl), or $-(CH_2)_m$ (5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^8 groups, except H, are optionally substituted by 1 to 3 R^{16} groups;

10 or where R^8 is as $-CH_2NR^8R^{15}$, R^{15} and R^8 may be taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from O, S and -N(R^8)-, in addition to the nitrogen to which R^{15} and R^8 are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are
15 optionally substituted by 1 to 3 R^{16} groups;

each R^9 and R^{10} is independently H or C_1 - C_6 alkyl;

each R^{11} , R^{12} , R^{13} and R^{14} is independently selected from H, C_1 - C_{10} alkyl, $-(CH_2)_m(C_6$ - C_{10} aryl), and $-(CH_2)_m$ (5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^{11} , R^{12} , R^{13} and R^{14} groups, except H, are optionally substituted by 1 to 3
20 R^{16} groups;

or R^{11} and R^{13} are taken together to form $-(CH_2)_p$ - wherein p is an integer ranging from 0 to 3 such that a 4-7 membered saturated ring is formed that optionally includes 1 or 2 carbon-carbon double or triple bonds;

or R^{13} and R^{14} are taken together to form a 4-10 membered monocyclic or polycyclic
25 saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from O, S and -N(R^8)-, in addition to the nitrogen to which R^{13} and R^{14} are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R^{16} groups;

30 R^{15} is H, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, or C_2 - C_{10} alkynyl, wherein the foregoing R^{15} groups are optionally substituted by 1 to 3 substituents independently selected from halo and $-OR^9$;

each R^{16} is independently selected from halo, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{17}$, $-C(O)OR^{17}$, $-C(O)OR^{17}$, $-OC(O)OR^{17}$, $-NR^8C(O)R^7$, $-C(O)NR^8R^7$, $-NR^8R^7$, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkoxy, $-(CH_2)_m(C_6$ - C_{10} aryl), and $-(CH_2)_m$ (5-10 membered heteroaryl), wherein m
35 is an integer ranging from 0 to 4, and wherein said aryl and heteroaryl substituents are optionally substituted by 1 or 2 substituents independently selected from halo, cyano, nitro, trifluoromethyl, azido, $-C(O)R^{17}$, $-C(O)OR^{17}$, $-C(O)OR^{17}$, $-OC(O)OR^{17}$, $-NR^8C(O)R^7$, $-C(O)NR^8R^7$, $-NR^8R^7$, hydroxy, C_1 - C_6 alkyl, and C_1 - C_6 alkoxy;

each R^{17} is independently selected from H, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl,

5 ~~(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4;~~

with the proviso that R⁶ is not H where R³ is -CH₂S(O)_nR⁸.

2. The compound of claim 1 wherein R⁴ is H, acetyl, or benzyloxycarbonyl.

3. The compound of claim 2 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂NR¹⁵R⁸ or-

10 -CH₂SR⁶.

22 4. The compound of claim 3 wherein R³ is -CH₂NR¹⁵R⁸ and R¹⁵ and R⁸ are independently selected from H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, and C₂-C₁₀ alkynyl, wherein the foregoing R¹⁵ and R⁸ groups, except H, are optionally substituted by 1 or 2 substituents independently selected from ^{the group consisting of} hydroxy, halo and C₁-C₆ alkoxy.

15 33 5. The compound of claim 4 wherein R¹⁵ and R⁸ are each independently selected from H, methyl, ethyl, allyl, n-butyl, isobutyl, 2-methoxyethyl, cyclopentyl, 3-methoxypropyl, 3-ethoxypropyl, n-propyl, isopropyl, 2-hydroxyethyl, cyclopropyl, 2,2,2-trifluoroethyl, 2-propynyl, sec-butyl, tert-butyl, and n-hexyl. ^{the group consisting of}

20 6. The compound of claim 2 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂NHR⁸, and R⁸ is -(CH₂)_m(C₆-C₁₀ aryl) wherein m is an integer ranging from 0 to 4.

54 7. The compound of claim 6 wherein R⁸ is phenyl or benzyl.

66 8. The compound of claim 2 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂NR¹⁵R⁸, and R¹⁵ and R⁸ are taken together to form a 4-10 membered saturated ring.

71 9. The compound of claim 8 wherein R¹⁵ and R⁸ are taken together to form a piperidino, trimethyleneimino, or morpholino ring.

25 10. The compound of claim 2 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂NR¹⁵R⁸, and R¹⁵ and R⁸ are taken together to form a 5-10 membered heteroaryl ring optionally substituted by 1 or 2 C₁-C₆ alkyl groups.

88 11. The compound of claim 10 wherein R¹⁵ and R⁸ are taken together to form a pyrrolidino, triazolyl, or imidazolyl ring wherein said heteroaryl groups are optionally substituted by 1 or 2 methyl groups.

10/0 12. The compound of claim 2 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂SR⁶, and R⁸ is selected from ^{the group consisting of} C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, and C₂-C₁₀ alkynyl, wherein said R⁸ groups are optionally substituted by 1 or 2 substituents independently selected from hydroxy, halo and C₁-C₆ alkoxy.

11 13. The compound of claim 12 wherein R⁸ is methyl, ethyl, or 2-hydroxyethyl.

14. The compound of claim 2 wherein R¹ is hydroxy, R² is hydroxy, and R³ is selected from ^{the group consisting of} C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, and C₂-C₁₀ alkynyl, wherein said R³ groups are optionally

49

- 5 substituted by 1 or 2 substituents independently selected from hydroxy, $-C(O)R^{17}$, $-NR^6R^7$, halo, cyano, azido, 5-10 membered heteroaryl, and C_1-C_6 alkoxy.

15 15. The compound of claim 14 wherein R^3 is methyl, allyl, vinyl, ethynyl, 1-methyl-1-propenyl, 3-methoxy-1-propynyl, 3-dimethylamino-1-propynyl, 2-pyridylethynyl, 1-propynyl, 3-hydroxy-1-propynyl, 3-hydroxy-1-propenyl, 3-hydroxypropyl, 3-methoxy-1-propenyl, 3-methoxypropyl, 1-propynyl, n-butyl, ethyl, propyl, 2-hydroxyethyl, azidomethyl, formylmethyl, 6-cyano-1-pentynyl, 3-dimethylamino-1-propenyl, or 3-dimethylaminopropyl.

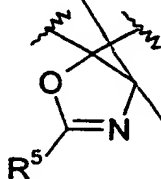
16. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, and R^3 is $-(CH_2)_m(5-10 \text{ membered heteroaryl})$ wherein m is an integer ranging from 0 to 4.

15 17. The compound of claim 16 wherein R^3 is 2-thienyl, 2-pyridyl, 1-methyl-2-imidazolyl, 2-furyl, or 1-methyl-2-pyrrolyl.

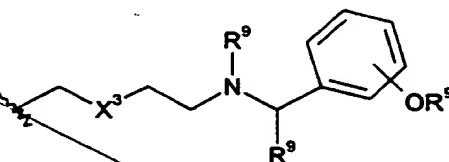
18. The compound of claim 2 wherein R^1 is hydroxy, R^2 is hydroxy, and R^3 is $-(CH_2)_m(C_6-C_{10} \text{ aryl})$ wherein m is an integer ranging from 0 to 4.

19. The compound of claim 18 wherein R^3 is phenyl.

20 20. The compound of claim 2 wherein R^2 and R^3 are taken together to form an oxazoly ring as shown below



21. The compound of claim 2 wherein R^3 is selected from the following:



25 wherein X^3 is O, S or $-N(R^{15})$, R^9 and R^{15} are as defined in claim 1, and the $-OR^9$ group may be attached at any available carbon on the phenyl group.

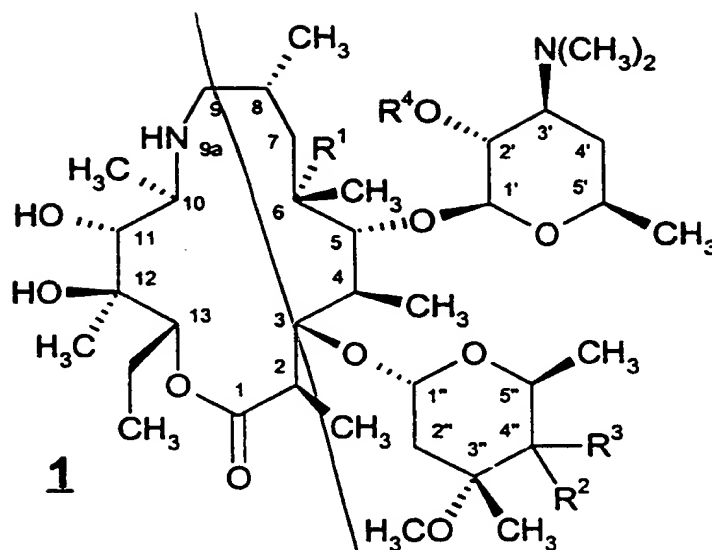
22. A pharmaceutical composition for the treatment of a bacterial infection or a protozoa infection in a mammal, fish, or bird which comprises a therapeutically effective amount of a compound of claim 1 and a pharmaceutically acceptable carrier.

30 23. A method of treating a bacterial infection or a protozoa infection in a mammal, fish, or bird which comprises administering to said mammal, fish or bird a therapeutically effective amount of a compound of claim 1.

24. A method of preparing a compound of the formula

Sub B' to 20

Sub B' to 20



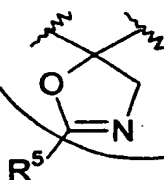
or a pharmaceutically acceptable salt thereof, wherein:

R^1 is H, hydroxy or methoxy;

R^2 is hydroxy;

R^3 is C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, cyano, $-CH_2S(O)_nR^8$ wherein n is an integer ranging from 0 to 2, $-CH_2OR^8$, $-CH_2N(OR^9)R^8$, $-CH_2NR^8R^{15}$, $-(CH_2)_m(C_6-C_{10}$ aryl), or $-(CH_2)_m(5-10$ membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^3 groups are optionally substituted by 1 to 3 R^{16} groups;

or R^2 and R^3 are taken together to form an oxazolyl ring as shown below



R^4 is H, $-C(O)R^9$, $-C(O)OR^9$, $-C(O)NR^9R^{10}$ or a hydroxy protecting group;

R^5 is $-SR^8$, $-(CH_2)_nC(O)R^8$ wherein n is 0 or 1, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, $-(CH_2)_m(C_6-C_{10}$ aryl), or $-(CH_2)_m(5-10$ membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^5 groups are optionally substituted by 1 to 3 R^{16} groups;

each R^6 and R^7 is independently H, hydroxy, C_1 - C_6 alkoxy, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, $-(CH_2)_m(C_6-C_{10}$ aryl), or $-(CH_2)_m(5-10$ membered heteroaryl), wherein m is an integer ranging from 0 to 4;

each R^8 is independently H, C_1 - C_{10} alkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, $-(CH_2)_qCR^{11}R^{12}(CH_2)_rNR^{13}R^{14}$ wherein q and r are each independently an integer ranging from 0 to 3 except q and r are not both 0, $-(CH_2)_m(C_6-C_{10}$ aryl), or $-(CH_2)_m(5-10$ membered heteroaryl),

5 wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^8 groups, except H, are optionally substituted by 1 to 3 R^{16} groups;

or where R^8 is as $-\text{CH}_2\text{NR}^8\text{R}^{15}$, R^{15} and R^8 may be taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from O, S and -
 10 $\text{N}(\text{R}^8)-$, in addition to the nitrogen to which R^{15} and R^8 are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R^{16} groups;

each R^9 and R^{10} is independently H or $\text{C}_1\text{-C}_6$ alkyl;

each R^{11} , R^{12} , R^{13} and R^{14} is independently selected from H, $\text{C}_1\text{-C}_{10}$ alkyl, $-(\text{CH}_2)_m(\text{C}_6\text{-C}_{10}$
 15 aryl), and $-(\text{CH}_2)_m(5\text{-}10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^{11} , R^{12} , R^{13} and R^{14} groups, except H, are optionally substituted by 1 to 3 R^{16} groups;

or R^{11} and R^{13} are taken together to form $-(\text{CH}_2)_p-$ wherein p is an integer ranging from 0
 20 to 3 such that a 4-7 membered saturated ring is formed that optionally includes 1 or 2 carbon-carbon double or triple bonds;

or R^{13} and R^{14} are taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from O, S and $-\text{N}(\text{R}^8)-$, in addition to the nitrogen to which R^{13} and R^{14} are attached, said saturated ring optionally includes 1 or 2 carbon-carbon
 25 double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R^{16} groups;

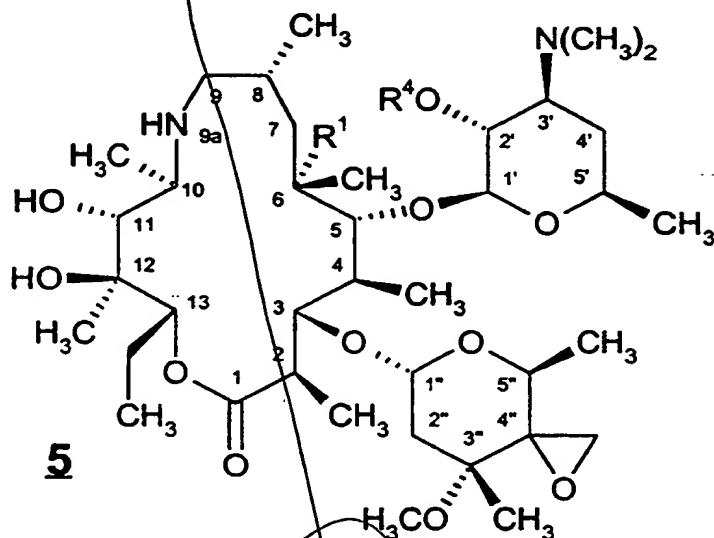
R^{15} is H, $\text{C}_1\text{-C}_{10}$ alkyl, $\text{C}_2\text{-C}_{10}$ alkenyl, or $\text{C}_2\text{-C}_{10}$ alkynyl, wherein the foregoing R^{15} groups are optionally substituted by 1 to 3 substituents independently selected from halo and $-\text{OR}^9$;

each R^{16} is independently selected from halo, cyano, nitro, trifluoromethyl, azido,
 30 $-\text{C}(\text{O})\text{R}^{17}$, $-\text{C}(\text{O})\text{OR}^{17}$, $-\text{C}(\text{O})\text{OR}^{17}$, $-\text{OC}(\text{O})\text{OR}^{17}$, $-\text{NR}^6\text{C}(\text{O})\text{R}^7$, $-\text{C}(\text{O})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$, hydroxy, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_1\text{-C}_6$ alkoxy, $-(\text{CH}_2)_m(\text{C}_6\text{-C}_{10} \text{ aryl})$, and $-(\text{CH}_2)_m(5\text{-}10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein said aryl and heteroaryl substituents are optionally substituted by 1 or 2 substituents independently selected from halo, cyano, nitro, trifluoromethyl, azido, $-\text{C}(\text{O})\text{R}^{17}$, $-\text{C}(\text{O})\text{OR}^{17}$, $-\text{C}(\text{O})\text{OR}^{17}$, $-\text{OC}(\text{O})\text{OR}^{17}$, $-\text{NR}^6\text{C}(\text{O})\text{R}^7$, $-\text{C}(\text{O})\text{NR}^6\text{R}^7$, $-\text{NR}^6\text{R}^7$,
 35 hydroxy, $\text{C}_1\text{-C}_6$ alkyl, and $\text{C}_1\text{-C}_6$ alkoxy;

each R^{17} is independently selected from H, $\text{C}_1\text{-C}_{10}$ alkyl, $\text{C}_2\text{-C}_{10}$ alkenyl, $\text{C}_2\text{-C}_{10}$ alkynyl, $-(\text{CH}_2)_m(\text{C}_6\text{-C}_{10} \text{ aryl})$, and $-(\text{CH}_2)_m(5\text{-}10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4;

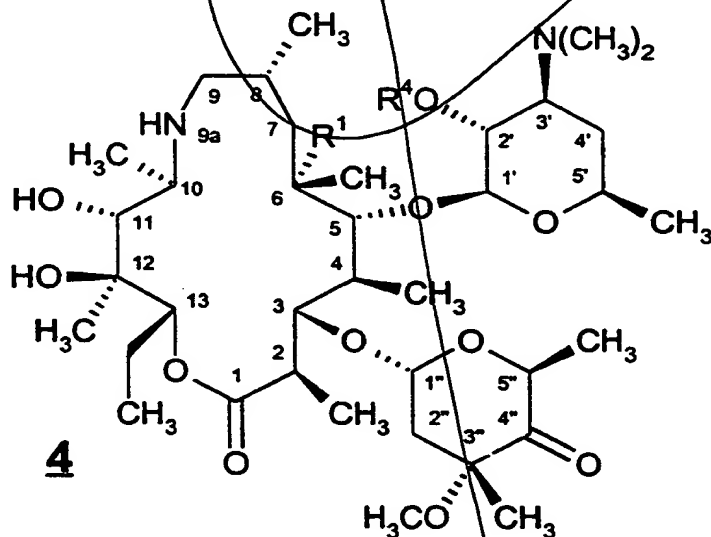
with the proviso that R^8 is not H where R^3 is $-\text{CH}_2\text{S}(\text{O})_n\text{R}^6$;

5 which comprises treating a compound of the formula



wherein R^1 and R^4 are as defined above, with a compound of the formula HOR^8 , HSR^8 or $HNR^{15}R^8$, wherein n , R^{15} and R^8 are as defined above, wherein if said compound of formula HSR^8 is used the resulting R^3 group of formula $-CH_2SR^8$ is optionally oxidised to $-CH_2S(O)R^8$ or $-CH_2S(O)_2R^8$.

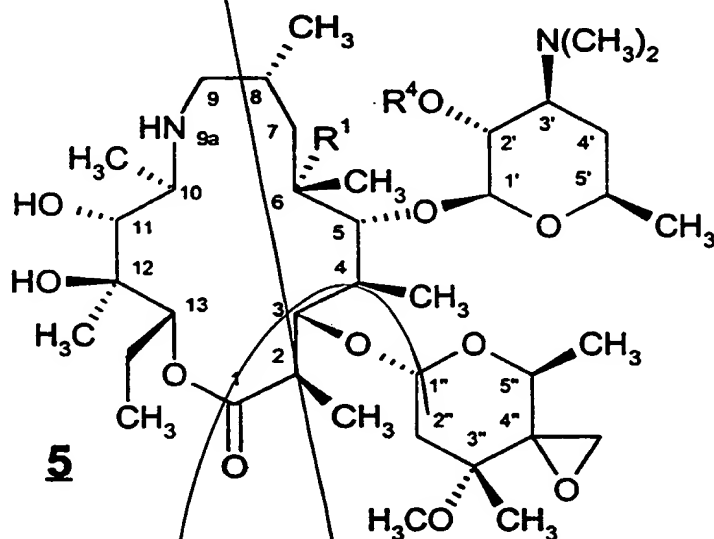
25. The method of claim 24 wherein the compound of formula 5 is prepared by treating a compound of the formula



wherein R^1 and R^4 are as defined in claim 24, with $(CH_3)_3S(O)_nX^2$, wherein n is 0 or 1 and X^2 is halo, $-BF_4$ or $-PF_6$, in the presence of a base.

26. The method of claim 25 wherein X^2 is iodo or BF_4 and said base is selected from the group consisting of potassium tert-butoxide, sodium tert-butoxide, sodium ethoxide, sodium hydride, 1,1,3,3-tetramethylguanidine, 1,8-diazabicyclo[5.4.0]undec-7-ene, 1,5-diazabicyclo[4.3.0]non-5-ene, potassium hexamethyldisilazide (KHMDS), potassium ethoxide, and sodium methoxide.

27. A compound of the formula

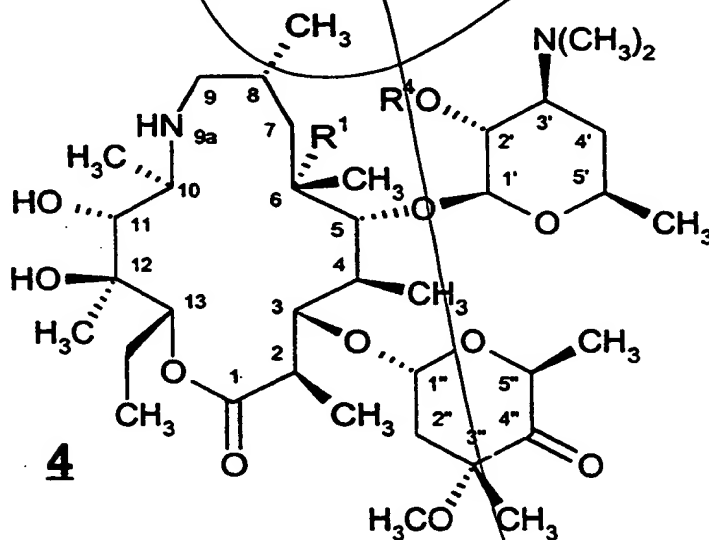


or a pharmaceutically acceptable salt thereof, wherein:

R^1 is H, hydroxy or methoxy; and,

R^4 is H, $-C(O)R^9$, $-C(O)OR^9$, $-C(O)NR^9R^{10}$ or a hydroxy protecting group; and, each R^9 and R^{10} is independently H or C_1 - C_6 alkyl.

28. A compound of the formula



or a pharmaceutically acceptable salt thereof, wherein:

R^1 is H, hydroxy or methoxy, and,

R^4 is H, $-C(O)R^9$, $-C(O)OR^9$, $-C(O)NR^9R^{10}$ or a hydroxy protecting group; and, each R^9 and R^{10} is independently H or C_1 - C_8 alkyl.

add
F2
separate page